10/613,782 EAST

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	832	((514/266.2) or (514/266.21) or (514/266.22) or (514/266.23)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:03
L2	1485	((544/283) or (544/284) or (544/291) or (544/293)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:03
L3	1783	L1 or L2	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:03
L4	776	L3 and (pyrrolidinyl or pyrrolidin or piperazinyl or piperazin or piperidin or piperidinyl)	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:05

10/613,782

Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  SEP 01   New pricing for the Save Answers for SciFinder Wizard within
                   STN Express with Discover!
 NEWS  4  OCT 28   KOREAPAT now available on STN
 NEWS  5  NOV 30   PHAR reloaded with additional data
 NEWS  6  DEC 01   LISA now available on STN
 NEWS  7  DEC 09   12 databases to be removed from STN on December 31, 2004
 NEWS  8  DEC 15   MEDLINE update schedule for December 2004
 NEWS  9  DEC 17   ELCOM reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  10 DEC 17   COMPUAB reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  11 DEC 17   SOLIDSTATE reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  12 DEC 17   CERAB reloaded; updating to resume; current-awareness
                   alerts (SDIs) affected
 NEWS  13 DEC 17   THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB

 NEWS EXPRESS  OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:42:12 ON 22 DEC 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:42:21 ON 22 DEC 2004

10/613,782

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9
DICTIONARY FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9

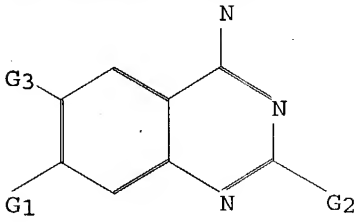
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

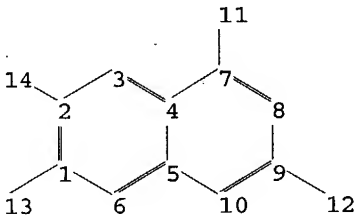
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10613782.str





chain nodes :
12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-13 2-14 7-11 9-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
1-13 2-14 7-11 9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:O,N

G2:Ak,N

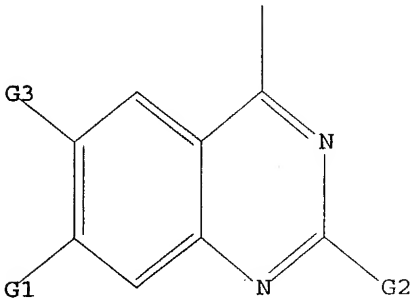
G3:H,X,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:CLASS 14:CLASS

10/613,782

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,N

G2 Ak,N

G3 H,X,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 10:42:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 35671 TO ITERATE

100.0% PROCESSED 35671 ITERATIONS 39 ANSWERS
SEARCH TIME: 00.00.02

L2 39 SEA SSS FUL L1

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:42:55 ON 22 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 22 Dec 2004 VOL 141 ISS 26
FILE LAST UPDATED: 21 Dec 2004 (20041221/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

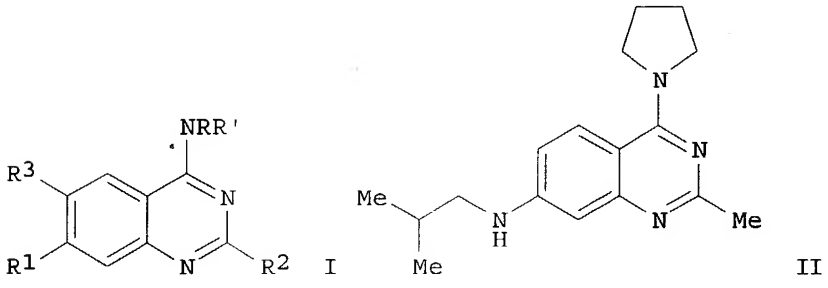
=> s l2
L3 4 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:41451 CAPLUS
DOCUMENT NUMBER: 140:111423
TITLE: Quinazoline derivatives useful as neuropeptide Y (NPY) receptor ligands, particularly antagonists, their preparation and pharmaceutical compositions, and their use in the treatment of, e.g. obesity
INVENTOR(S): Mattei, Patrizio; Mueller, Werner; Neidhart, Werner; Nettekoven, Matthias Heinrich; Pflieger, Philippe
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005265	A1	20040115	WO 2003-EP6868	20030627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004029901	A1	20040212	US 2003-613782	20030703
PRIORITY APPLN. INFO.:			EP 2002-14904 A	20020705

OTHER SOURCE(S): MARPAT 140:111423
GI



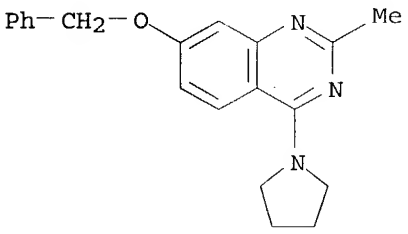
I II

AB Title compds. I and their pharmaceutically acceptable salts and esters can be used in the form of pharmaceutical prepns. for the treatment or prevention of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders, and obesity [wherein: R1 = OR4 or NR5R6; = alkyl or amino; R3 = H, alkyl, or halogen; R4 = H, alkyl, alkoxyalkyl, hydroxyalkyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl, amino-SO2-, or

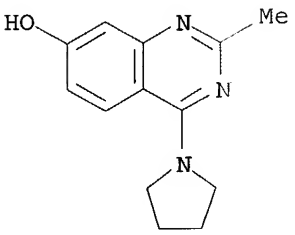
alkyl-SO2-; R5, R6 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcarbonyl, cycloalkylcarbonyl, aryl, aralkyl, arylcarbonyl, alkoxyalkyl, hydroxyalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, alkyl-SO2-, aryl-SO2-, heterocyclyl-SO2-, or amino-SO2-; or NR5R6 = 5- to 10-membered heterocyclic ring with optional addnl. N or O atom, and optionally substituted with alkyl and/or alkoxy; NRR' = 5- to 7-membered saturated heterocyclic ring optionally containing a second heteroatom (O, N, or S) and, optionally substituted by halogen, alkyl, alkoxy, haloalkoxy, cycloalkylalkoxy, hydroxy, amino, acetylamino, cyano, hydroxyalkyl, alkoxyalkyl, haloalkoxyalkyl, and cycloalkylalkoxyalkyl]. I are neuropeptide ligands; more specifically, they are selective neuropeptide Y (NPY) antagonists, and in particular, they are antagonists for the Y5 receptor subtype. Approx. 34 specific examples were prepared, and 10 of these are claimed. For instance, 4-bromoanthranilic acid was cyclocondensed with acetyl chloride to give 99.4% 7-bromo-2-methyl-3H-quinazolin-4-one, which was treated with POCl3 and PhNMe2 to give 59% 7-bromo-4-chloro-2-methylquinazoline. Aminolysis of this dihalide, first with pyrrolidine at the 4-position (100%), and then with isobutylamine at the 7-position, gave a preferred invention compound, II. In tests for displacement of labeled peptide YY (PYY) from mouse brain NPY5 receptors expressed in HEK 293 cells, compound II had an IC50 value of 3 nM.

IT **646450-52-4P**, 7-Benzyloxy-2-methyl-4-pyrrolidin-1-ylquinazoline
646450-53-5P, 2-Methyl-4-pyrrolidin-1-ylquinazolin-7-ol
646450-66-0P, (S)-[1-(7-Benzyloxy-2-methylquinazolin-4-yl)pyrrolidin-2-yl]methanol **646450-67-1P**, (S)-4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-ol **646450-73-9P**, (S)-7-Benzyloxy-4-(3-ethoxypyrrolidin-1-yl)-2-methylquinazoline **646450-74-0P**, (S)-4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-ol **646450-76-2P**, (S)-1-(7-Benzyloxy-2-methylquinazolin-4-yl)pyrrolidin-3-ol **646450-77-3P**, (S)-4-(3-Hydroxypyrrolidin-1-yl)-2-methylquinazolin-7-ol
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of quinazoline derivs. as NPY antagonists for treatment of obesity, etc.)

RN 646450-52-4 CAPLUS
CN Quinazoline, 2-methyl-7-(phenylmethoxy)-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

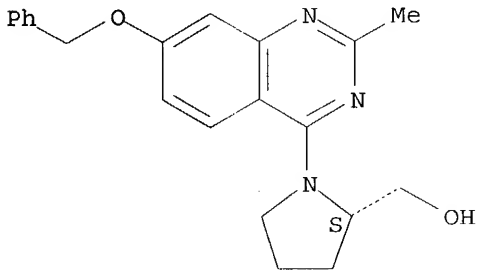


RN 646450-53-5 CAPLUS
CN 7-Quinazolinol, 2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



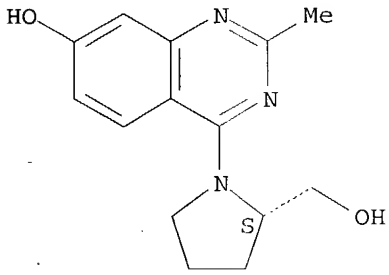
RN 646450-66-0 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[2-methyl-7-(phenylmethoxy)-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



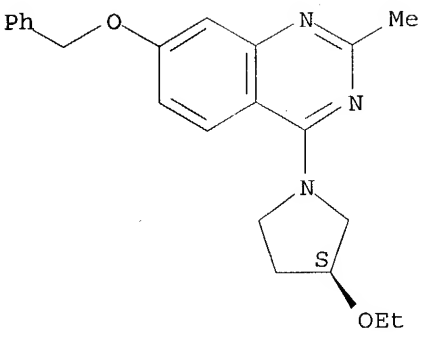
RN 646450-67-1 CAPLUS
CN 7-Quinazolinol, 4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



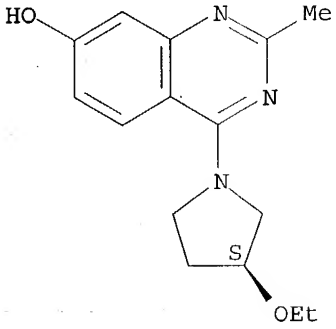
RN 646450-73-9 CAPLUS
CN Quinazoline, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



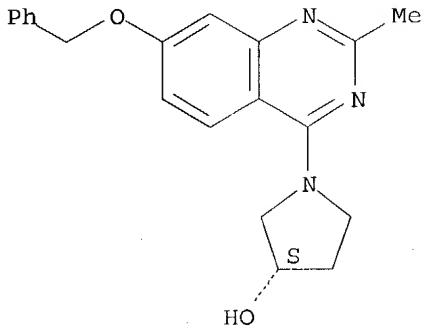
RN 646450-74-0 CAPLUS
CN 7-Quinazolinol, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



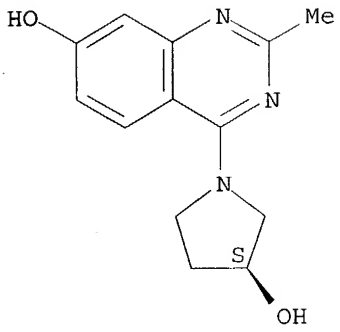
RN 646450-76-2 CAPLUS
CN 3-Pyrrolidinol, 1-[2-methyl-7-(phenylmethoxy)-4-quinazolinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-77-3 CAPLUS
CN 7-Quinazolinol, 4-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

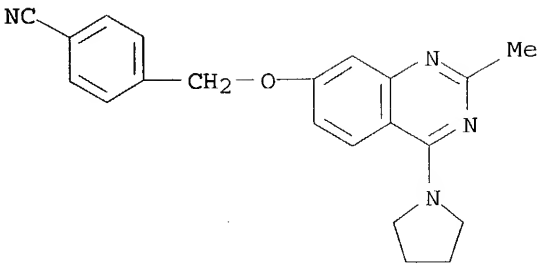
Absolute stereochemistry.



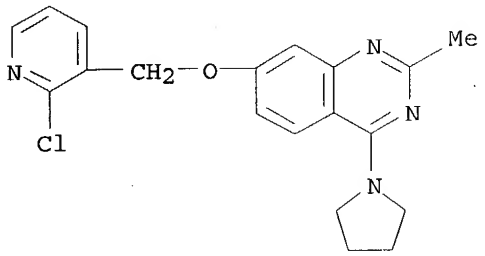
IT **646450-56-8P**, 4-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]benzonitrile **646450-58-0P**, 7-(2-Chloropyridin-3-ylmethoxy)-2-methyl-4-pyrrolidin-1-ylquinazoline **646450-61-5P**, 2-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]benzonitrile **646450-62-6P**, 7-(2-Fluoropyridin-3-ylmethoxy)-2-methyl-4-pyrrolidin-1-ylquinazoline **646450-63-7P**, 5-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]pyridine-2-carbonitrile **646450-64-8P**, 7-Cyclopropylmethoxy-2-methyl-4-pyrrolidin-1-ylquinazoline hydrochloride **646450-65-9P**, 4-[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]benzonitrile **646450-68-2P**, (S)-4-[[[4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]benzonitrile **646450-69-3P**, (S)-[1-[7-(2-Chloropyridin-3-ylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol **646450-70-6P**, (S)-[1-[7-(2-Fluoropyridin-3-ylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol **646450-71-7P**, (S)-5-[[[4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]pyridine-2-carbonitrile **646450-72-8P**, (S)-[1-[7-(Cyclopropylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol **646450-75-1P**, (S)-4-[[[4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]benzonitrile **646450-79-5P**, (Cyclopropylmethyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine **646450-80-8P**, (Isobutyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine **646450-81-9P**, (2,2-Dimethylpropyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine **646450-82-0P**, (2-Chlorobenzyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine **646450-83-1P**, (2-Methylbenzyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine **646450-84-2P**, 4-[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amino]benzonitrile **646450-85-3P**, (4-Fluorophenyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine **646450-86-4P**, [2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl](pyridin-3-yl)amine **646450-87-5P**, Furan-2-carboxylic acid N-[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amide **646450-88-6P**, (S)-[4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl](pyridin-3-yl)amine **646450-89-7P**, (S)-[4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl](4-fluorophenyl)amine **646450-90-0P**, (S)-[4-(3-Methoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl](pyridin-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazoline derivs. as NPY antagonists for treatment of obesity, etc.)

RN 646450-56-8 CAPLUS

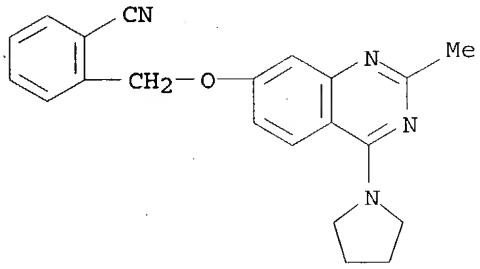
CN Benzonitrile, 4-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]-(9CI) (CA INDEX NAME)



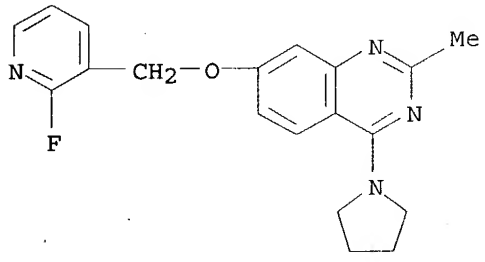
RN 646450-58-0 CAPLUS
CN Quinazoline, 7-[(2-chloro-3-pyridinyl)methoxy]-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 646450-61-5 CAPLUS
CN Benzonitrile, 2-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

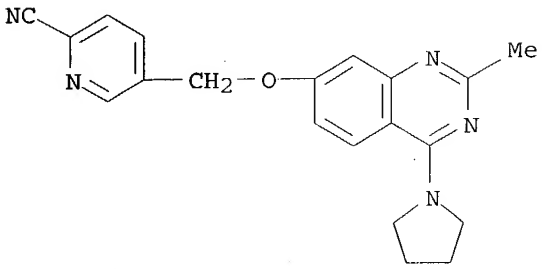


RN 646450-62-6 CAPLUS
CN Quinazoline, 7-[(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

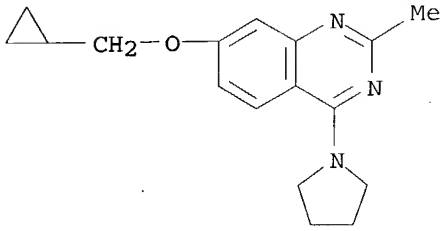


RN 646450-63-7 CAPLUS

CN 2-Pyridinecarbonitrile, 5-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

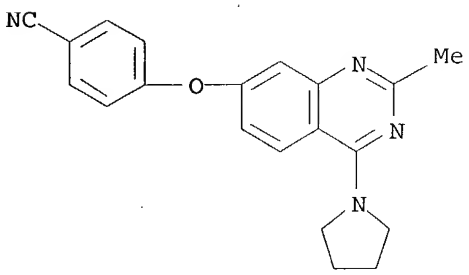


RN 646450-64-8 CAPLUS
CN Quinazoline, 7-(cyclopropylmethoxy)-2-methyl-4-(1-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



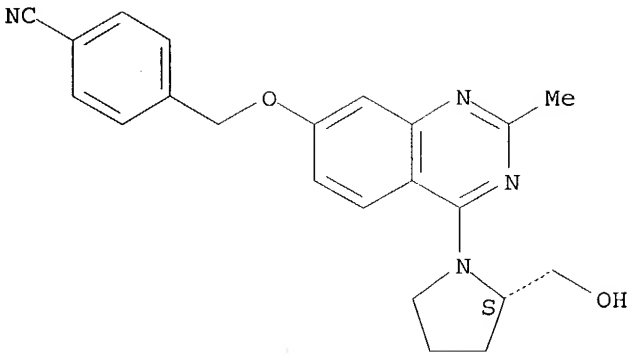
● HCl

RN 646450-65-9 CAPLUS
CN Benzonitrile, 4-[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



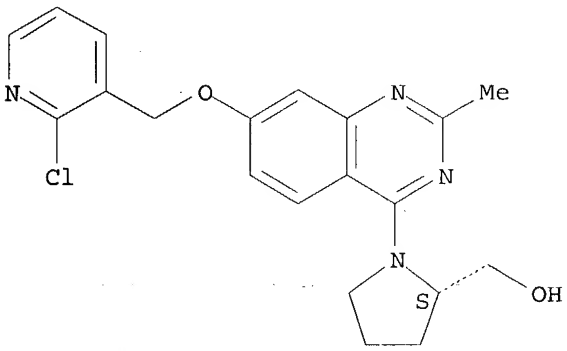
RN 646450-68-2 CAPLUS
CN Benzonitrile, 4-[[[4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



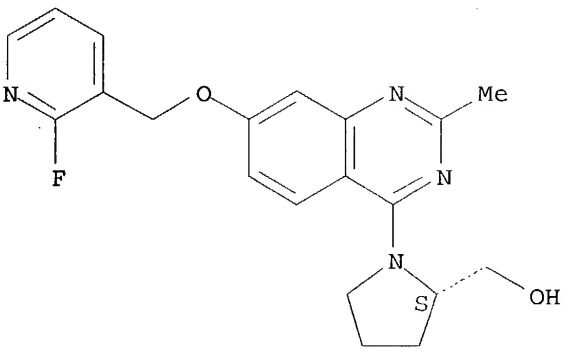
RN 646450-69-3 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[7-[(2-chloro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



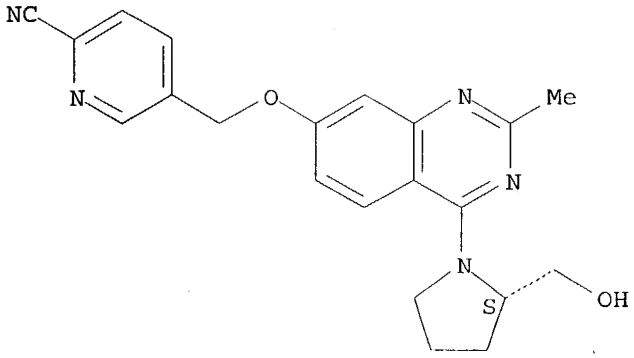
RN 646450-70-6 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[7-[(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



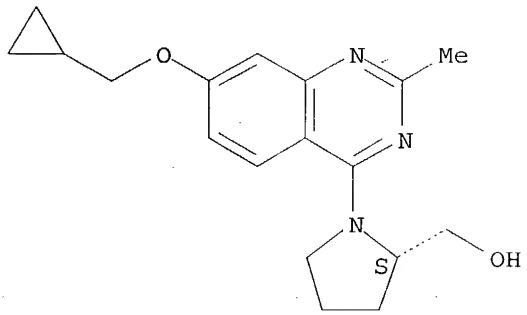
RN 646450-71-7 CAPLUS
CN 2-Pyridinecarbonitrile, 5-[[[4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



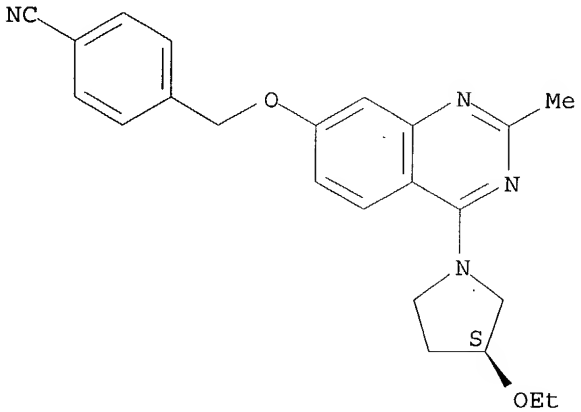
RN 646450-72-8 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[7-(cyclopropylmethoxy)-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

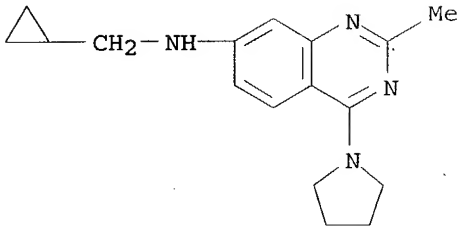


RN 646450-75-1 CAPLUS
CN Benzonitrile, 4-[[[4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

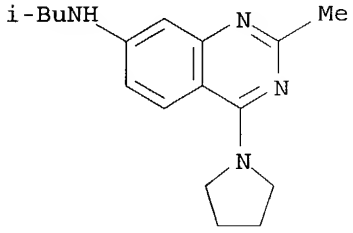
Absolute stereochemistry.



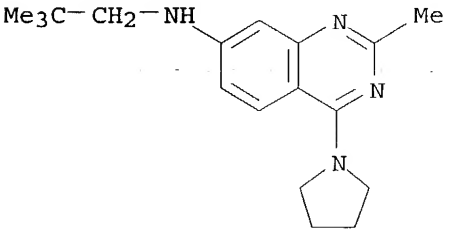
RN 646450-79-5 CAPLUS
CN 7-Quinazolinamine, N-(cyclopropylmethyl)-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



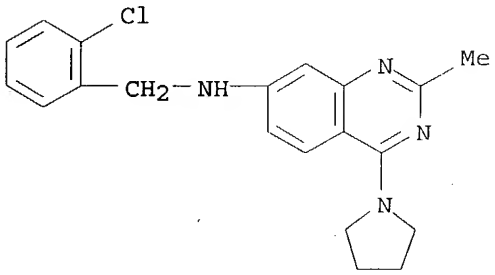
RN 646450-80-8 CAPLUS
CN 7-Quinazolinamine, 2-methyl-N-(2-methylpropyl)-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



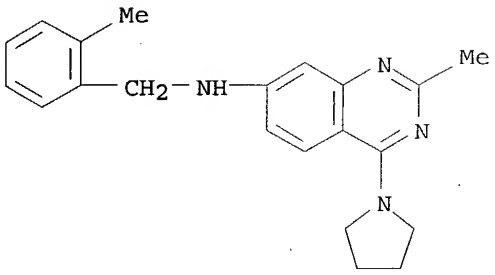
RN 646450-81-9 CAPLUS
CN 7-Quinazolinamine, N-(2,2-dimethylpropyl)-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



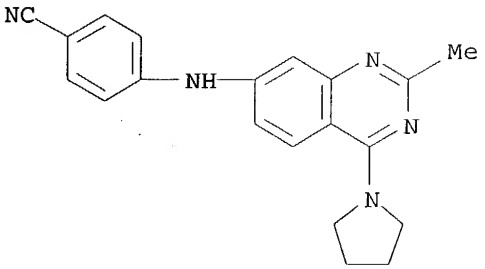
RN 646450-82-0 CAPLUS
CN 7-Quinazolinamine, N-[(2-chlorophenyl)methyl]-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



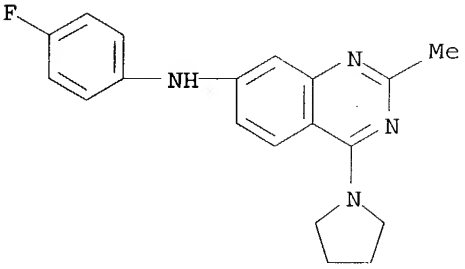
RN 646450-83-1 CAPLUS
CN 7-Quinazolinamine, 2-methyl-N-[(2-methylphenyl)methyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



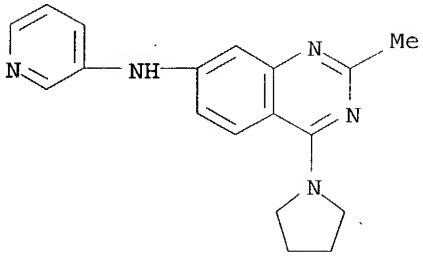
RN 646450-84-2 CAPLUS
CN Benzonitrile, 4-[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



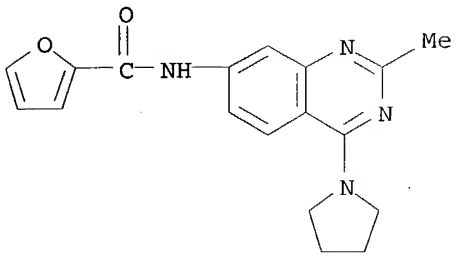
RN 646450-85-3 CAPLUS
CN 7-Quinazolinamine, N-(4-fluorophenyl)-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 646450-86-4 CAPLUS
CN 7-Quinazolinamine, 2-methyl-N-3-pyridinyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

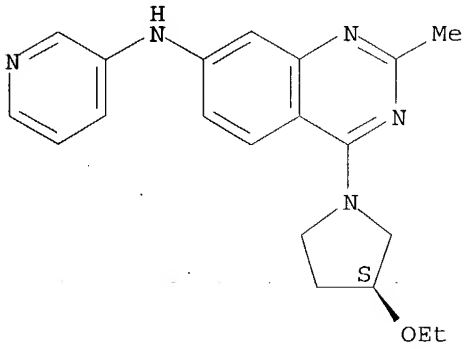


RN 646450-87-5 CAPLUS
CN 2-Furancarboxamide, N-[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]- (9CI) (CA INDEX NAME)



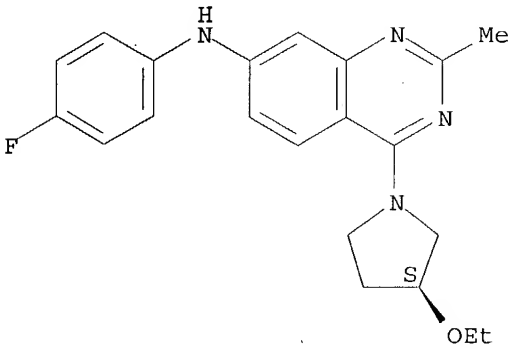
RN 646450-88-6 CAPLUS
CN 7-Quinazolinamine, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



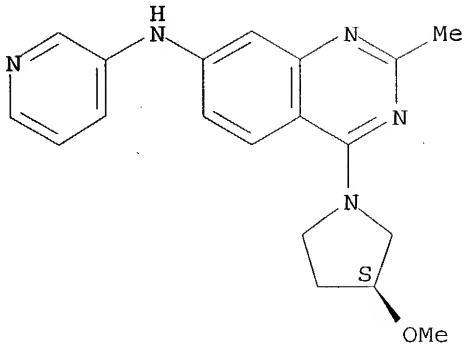
RN 646450-89-7 CAPLUS
CN 7-Quinazolinamine, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-N-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-90-0 CAPLUS
CN 7-Quinazolinamine, 4-[(3S)-3-methoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:172597 CAPLUS
DOCUMENT NUMBER: 130:209716
TITLE: Preparation of 2-vinyl-4-aminoquinazoline derivatives as insulin secretion promoters and antidiabetics
INVENTOR(S): Ueno, Kimihisa; Nomoto, Yuji; Takasaki, Kotaro; Yoshida, Miho; Kusaka, Hideaki; Yano, Hiroshi; Nakanishi, Satoshi; Matsuda, Yuzuru; Uesaka, Noriaki; Suzuki, Chiharu
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9909986	A1	19990304	WO 1998-JP3711		19980821
W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9887487	A1	19990316	AU 1998-87487		19980821
PRIORITY APPLN. INFO.:			JP 1997-225963	A	19970822
			WO 1998-JP3711	W	19980821

OTHER SOURCE(S): MARPAT 130:209716
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are insulin secretion promoters and remedies for diabetes which contain as the active ingredient 2-vinyl-4-aminoquinazoline derivs. represented by general formula (I) or pharmacol. acceptable salts thereof [wherein R1A and R1B are the same or different and each represents hydrogen, lower alkyl, lower alkoxy, halogeno, nitro, NR3R4 (wherein R3 and R4 are the same or different and each represents hydrogen or lower alkyl), etc.; or R1A may form together with R1B adjacent thereto O(CH2)nO (wherein n is 1 or 2); Cy represents optionally substituted aryl; R2 represents hydrogen or optionally substituted lower alkyl; and A

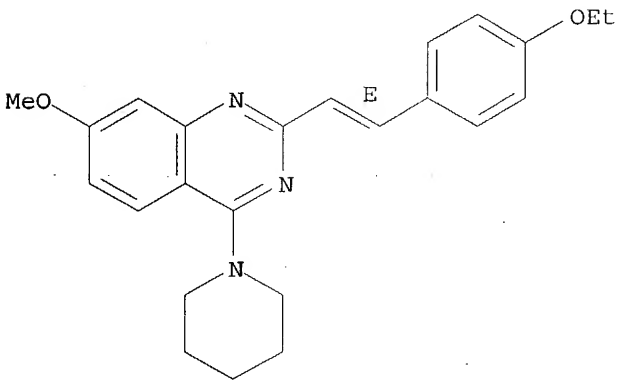
represents hydrogen or optionally substituted lower alkyl, optionally substituted cycloalkyl, etc.; or R2 and A may form together with the nitrogen atom adjacent thereto an optionally substituted heterocycle]. These compds. exhibited insulin secretion activity at high concentration of glucose (14.5 mM) but no substantial activity at low concentration of glucose (≤5 mM). For comparison, glubenclamide did exhibit substantial insulin-secretion activity at low concentration of glucose. Thus, 7-chloro-7-methoxy-2-[2-(E)-(2,4-dimethoxyphenyl)vinyl]quinazoline was condensed with N-methylphenethylamine to give the title compound (II). II in vitro showed insulin secretion activity of 3,413 ng/mL at 1 μM under 14.5 mM glucose and 86 ng/mL at 10 μM under 5 mM glucose in spleen β-cells (MIN6)as compared to that of 684 ng/mL at 0.1 μM under 14.5 mM glucose and 317 ng/mL at 0.1 μM under 5 mM glucose for glubenclamide.

IT **221008-87-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vinylaminoquinazoline derivs. as insulin secretion promoters and antidiabetics)

RN 221008-87-3 CAPLUS

CN Quinazoline, 2-[(1E)-2-(4-ethoxyphenyl)ethenyl]-7-methoxy-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

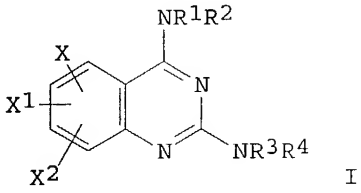
ACCESSION NUMBER: 1992:490317 CAPLUS
DOCUMENT NUMBER: 117:90317
TITLE: Preparation of 2,4-diaminoquinazolines for enhancing antitumor activity
INVENTOR(S): Coe, Jotham Wadsworth; Fliri, Anton Franz; Kaneko, Takushi; Larson, Eric Robert
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207844	A1	19920514	WO 1991-US7254	19911010

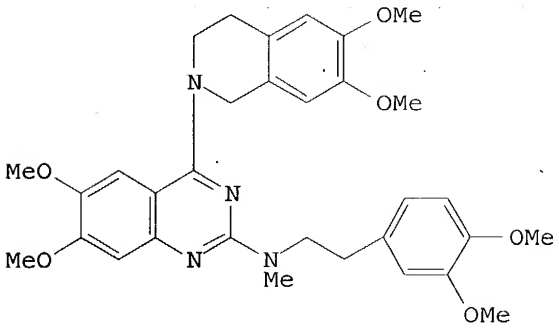
W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, SU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE					
CA 2095213	AA	19920507	CA 1991-2095213		19911010
AU 9190592	A1	19920526	AU 1991-90592		19911010
AU 644035	B2	19931202			
EP 556310	A1	19930825	EP 1992-900750		19911010
EP 556310	B1	19950705			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 05507290	T2	19931021	JP 1992-501815		19911010
HU 64533	A2	19940128	HU 1993-1314		19911010
BR 9107070	A	19940531	BR 1991-7070		19911010
ES 2074867	T3	19950916	ES 1992-900750		19911010
CN 1061411	A	19920527	CN 1991-108479		19911105
ZA 9108767	A	19930505	ZA 1991-8767		19911105
NO 9301635	A	19930505	NO 1993-1635		19930505
US 5444062	A	19950822	US 1993-50047		19930505
PRIORITY APPLN. INFO.:			US 1990-609986	A1	19901106
			WO 1991-US7254	A	19911010

OTHER SOURCE(S): MARPAT 117:90317

GI



I



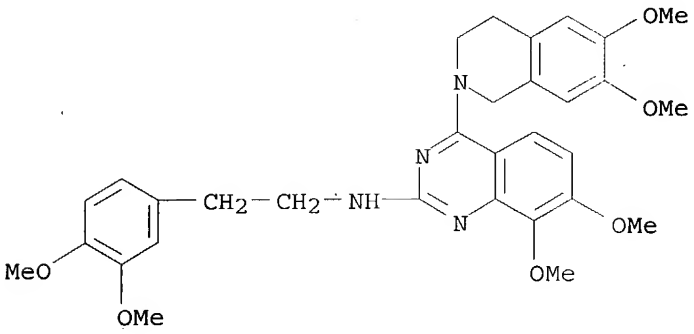
II

AB Title compds. [I; X, X1 = H, alkyl, alkoxy, Br, iodo, NO2, amino, Me2S+, aminomethyl, MeS, HOCH2, (substituted) benzoylamino, alkanoylamino, 4-methylpiperazino, morpholino, piperazino, pyrrolidino, etc.; X2 = H, alkyl, alkoxy; XX1 = ethylenedioxy, methylenedioxy; R1 = alkoxyalkyl, cycloalkyl, benzodioxan-2-ylmethyl; R2 = H, alkyl, PhCH2; R1R2 = (substituted) benzodiazepinyl, piperidino, decahydroisoquinol-2-yl, octahydroisoindol-2-yl, 1,2,3,4-tetrahydro-β-carbol-2-yl; R3 = cycloalkyl, benzodioxan-2-ylmethyl, (substituted) aralkyl, pyridylalkyl, alkoxyalkyl, indolylalkyl, tetrahydronaphthyl, indenyl, naphthyl, etc.; R4 = H, alkyl; R3R4N = (substituted) tetrahydroisoquinolyl, piperidino, piperazino], were prepared as p-glycoprotein inhibitors to reverse multidrug resistance (no data). Thus, 2,4-dichloro-6,7-dimethoxyquinazoline, 1,2,3,4-tetrahydro-6,7-dimethoxyisoquinoline, and Et3N were stirred 16 h in dimethylacetamide to give 2-chloro-4-(1,2,3,4-tetrahydro-6,7-dimethoxyisoquinol-2-yl)-6,7-dimethoxyquinazoline. The latter was heated with N-methyl-3,4-dimethoxyphenethylamine in ethoxyethoxyethanol to give

title compound II.

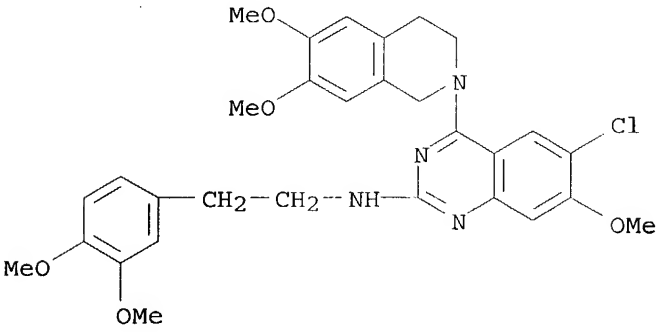
IT **142716-12-9P 142735-40-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as P-glycoprotein inhibitor)

RN 142716-12-9 CAPLUS
CN 2-Quinazolinamine, 4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-7,8-dimethoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 142735-40-8 CAPLUS
CN 2-Quinazolinamine, 6-chloro-4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-7-methoxy- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:405511 CAPLUS
DOCUMENT NUMBER: 77:5511
TITLE: 2-Styryl-4-aminoquinazolines
INVENTOR(S): Breuer, Hermann; Schulze, Ernst
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2135172	A	19720120	DE 1971-2135172	19710714
US 3753981	A	19730821	US 1970-55252	19700715
CH 532056	A	19730215	CH 1971-532056	19710714
CA 971962	A1	19750729	CA 1971-118193	19710714
FR 2100916	A5	19720324	FR 1971-25952	19710715
FR 2100916	B1	19741018		
HU 163174	P	19730628	HU 1971-SU648	19710715
GB 1364294	A	19740821	GB 1971-33228	19710715
PRIORITY APPLN. INFO.:			US 1970-55252 A	19700715

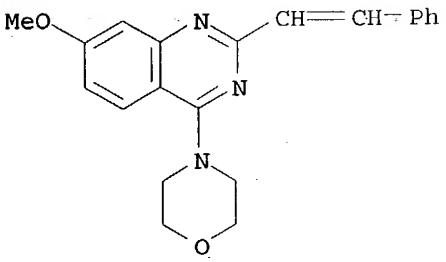
GI For diagram(s), see printed CA Issue.

AB The title compds. [I, R = NHCHMe(CH2)3NEt2, morpholino, or 4-methyl-1-piperazinyl; R1 = H, Cl, OMe, or NO2; R2 = H or Cl], useful as antiinflammatory agents, were prepared by treatment of 2-styryl-4(3H)-quinazolinones with POCl3 to give I (R = Cl) and reaction with amines. Thus, 28.3 g 6-chloro-2-styryl-4(3H)-quinazolinone was refluxed 4 hr with POCl3 in PhNMe2 and C6H6 to give I (R = Cl, R1 = 6-Cl, R2 = H). Similarly prepared were 8 I (R = Cl), e.g. (R1 and R2 given): 7-Cl, H (II); 6-OMe, Cl. Refluxing 8.4 g II 15 hr with H2NCHMe(CH2)3NEt2 in C6H6 gave 9.25 g I [R = NHCHMe(CH2)3NEt2, R1 = 7-Cl, R2 = H], from which the di-HCl salt was also prepared Similarly prepared were 14 addnl. I, e.g. (R-R2 and salt given): morpholino, 7-Cl, Cl, -; 4-methyl-1-piperazinyl, 6-Cl, H, 1.5HCl.0.5H2O; NHCHMe(CH2)3NEt2, 7-OMe, H, 2HCl.2H2O.

IT **36945-47-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 36945-47-8 CAPLUS

CN Quinazoline, 7-methoxy-4-(4-morpholinyl)-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)



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FILE 'REGISTRY' ENTERED AT 10:42:21 ON 22 DEC 2004
L1 STRUCTURE UPLOADED
L2 39 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:42:55 ON 22 DEC 2004
L3 4 S L2

=> log y